产品名称：Acalabrutinib(ACP-196)
产品别名：ACP-196

生物活性：

Description	Acalabrutinib is an orally active, irreversible, and highly selective BTK inhibitor, with an IC50 of 3 nM and EC50 of 8 nM.
IC_{50} & Target	IC50: 3 nM (BTK in CD69 B cell)[2]
In Vitro	Acalabrutinib inhibits tyrosine phosphorylation of downstream targets of ERK, IKB, and AKT, in the in vitro signaling assay on primary human CLL cells[2].
In Vivo	In the human CLL NSG xenograft model, acalabrutinib demonstrates on-target effects including decreased phosphorylation of PLCγ2, ERK and significant inhibition of CLL cell proliferation. Acalabrutinib significantly decreases tumor burden in the spleen of the mice. In the TCL1 adoptive transfer model, acalabrutinib treatment decreases phosphorylation of BTK, PLCγ2 and S6. Most notably, acalabrutinib results in a significant increase in survival compared to mice receiving vehicle[1]. Acalabrutinib (100 mg twice per day) assessed for thrombus formation at injured arterioles of the mice, exhibits more selective for inhibiting BTK and has virtually no inhibition of platelet activity[2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 125 mg/mL (268.52 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1482 mL	10.7409 mL	21.4818 mL
	5 mM	0.4296 mL	2.1482 mL	4.2964 mL
	10 mM	0.2148 mL	1.0741 mL	2.1482 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.25 mg/mL (4.83 mM); Clear solution

此方案可获得 ≥ 2.25 mg/mL (4.83 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 22.5 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.25 mg/mL (4.83 mM); Clear solution

此方案可获得 ≥ 2.25 mg/mL (4.83 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 22.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.25 mg/mL (4.83 mM); Clear solution 此方案可获得 ≥ 2.25 mg/mL (4.83 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 22.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Herman SE, et al. The Bruton's tyrosine kinase (BTK) inhibitor acalabrutinib demonstrates potent on-target effects and efficacy in two mouse models of chronic lymphocytic leukemia. Clin Cancer Res. 2016 Nov 30 [2]. Wu J, et al. Acalabrutinib (ACP-196): a selective second-generation BTK inhibitor. J Hematol Oncol. 2016 Mar 9;9:21